

L Number	Hits	Search Text	DB	Time stamp
1	13	pyrimido with (isoquinolin or 'isoquinolin-4-one')	USPAT; US-PGPUB	2003/08/15 14:53
2	29	treqinsin	USPAT; US-PGPUB	2003/08/15 14:53
3	38	(pyrimido with (isoquinolin or 'isoquinolin-4-one')) or treqinsin	USPAT; US-PGPUB	2003/08/15 14:53

8/15/03
 9/964, 260

09 / 964,260

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NEWS 20 May 19 RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21 Jun 06 Simultaneous left and right truncation added to CBNB
NEWS 22 Jun 06 PASCAL enhanced with additional data
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DICTIONARY FILE UPDATES: 13 AUG 2003 HIGHEST RN 566135-25-9

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L1 STRUCTURE UPLOADED

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FULL SEARCH INITIATED 14:20:30 FILE 'REGISTRY'
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100.0% PROCESSED 14 ITERATIONS
SEARCH TIME: 00.00.01

14 ANSWERS

L2 14 SEA SSS FUL L1

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FULL ESTIMATED COST

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ENTRY | TOTAL
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L3 1 L2

=> d 13 1- ibib abs hitstr
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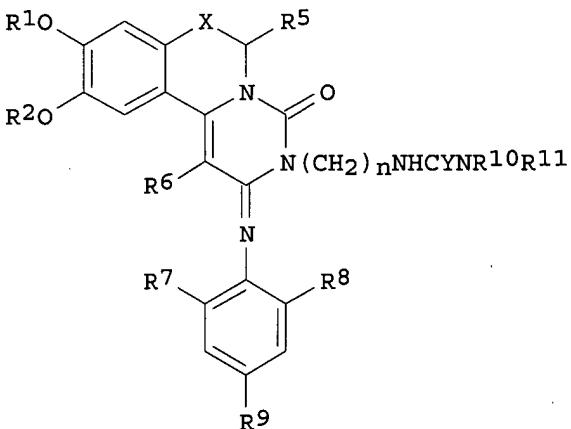
L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:707163 CAPLUS
DOCUMENT NUMBER: 133:266869
TITLE: Preparation of 2-aryliminopyrimido[6,1-a]isoquinolin-4-ones as phosphodiesterase inhibitors.
INVENTOR(S): Oxford, Alexander William; Jack, David
PATENT ASSIGNEE(S): Vanguard Medica Ltd., UK
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LÄNGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2000058308 | A1 | 20001005 | WO 2000-GB1193 | 20000329 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, | | | |

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|--|----|----------|-----------------------|-----------------|
| NZ 514158 | A | 20000329 | NZ 2000-514158 | 20000329 |
| AU 2000041274 | A5 | 20001016 | AU 2000-41274 | 20000329 |
| EP 1165558 | A1 | 20020102 | EP 2000-920857 | 20000329 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| BR 2000009446 | A | 20020115 | BR 2000-9446 | 20000329 |
| JP 2002540207 | T2 | 20021126 | JP 2000-608010 | 20000329 |
| US 2003036542 | A1 | 20030220 | <u>US 2001-964260</u> | <u>20010926</u> |
| NO 2001004728 | A | 20011123 | NO 2001-4728 | 20010928 |
| PRIORITY APPLN. INFO.: | | | | |
| GB 1999-7454 A 19990331 | | | | |
| GB 1999-9802 A 19990428 | | | | |
| WO 2000-GB1193 W 20000329 | | | | |

OTHER SOURCE(S) : MARPAT 133:266869
GI



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version

AB Title compds. [I; R1, R2 = alkyl, acyl; R5 = H, alkyl, alkenyl, alkynyl; R6 = H, alkyl, alkenyl, alkynyl, amino, alkylamino, dialkylamino, acylamino; R7, R8 = H, halo, OH, CF3, alkyl, alkenyl, alkynyl, acyl, alkythio, alkoxy, cycloalkyl; R9 = H, halo, OH, CF3, alkyl, alkenyl, alkynyl, acyl, alkythio, alkoxy, cycloalkyl; X = OCH2, CR3R4; R3, R4 = H, alkyl; R10, R11 = H, alkyl, cycloalkyl, Ph; Y = O, CHNO2, NCN, NH, NNO2; n = 2-4], were prep'd. I have a longer duration of action than the known compd. trequinsin (9,10-dimethoxy-3-methyl-2-mesitylimino-2,3,6,7-tetrahydro-4H--pyrimido[6,1-a]isoquinolin-4-one) and do not have trequinsin's very bitter taste. Thus, Na cyanate was added dropwise to 9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one (prep'n. given) in aq. HCl at 80.degree. followed by stirring for 2 h to give 54% 9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(N-carbamoyl-2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one (II). II inhibited PDE3 with IC50 = 0.46 .mu.M and was tasteless.

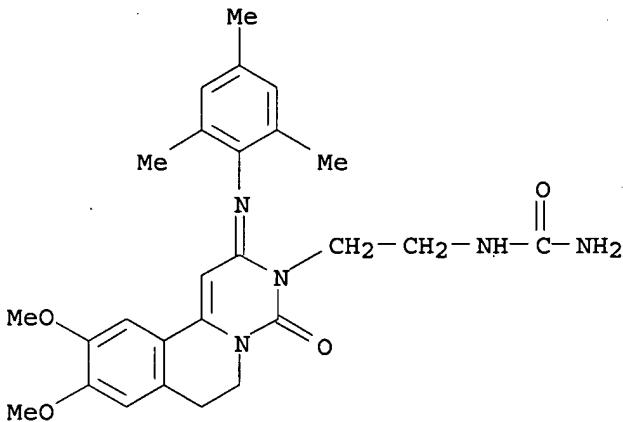
IT 298680-25-8P 298680-26-9P 298680-27-0P
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298680-34-9P 298680-35-0P 298680-36-1P
298680-37-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prep'n. of 2-aryliminopyrimido[6,1-a]isoquinolin-4-ones as phosphodiesterase inhibitors)

RN 298680-25-8 CAPLUS

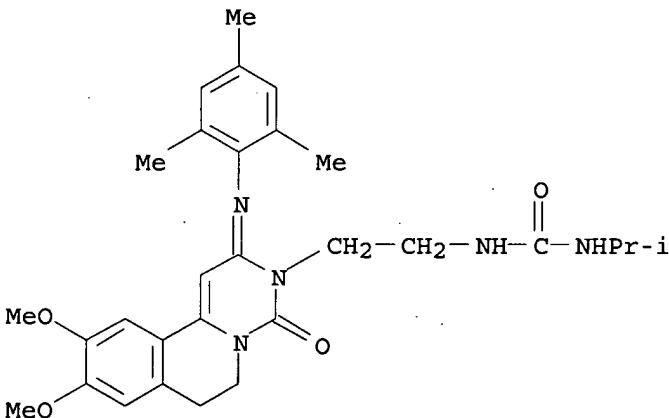
09/ 964,260

CN Urea, [2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl] - (9CI) (CA INDEX NAME)



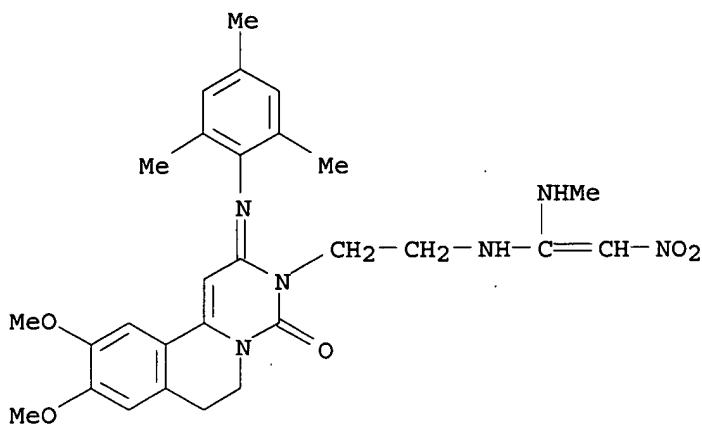
RN 298680-26-9 CAPLUS

CN Urea, N-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N'-(1-methylethyl) - (9CI) (CA INDEX NAME)



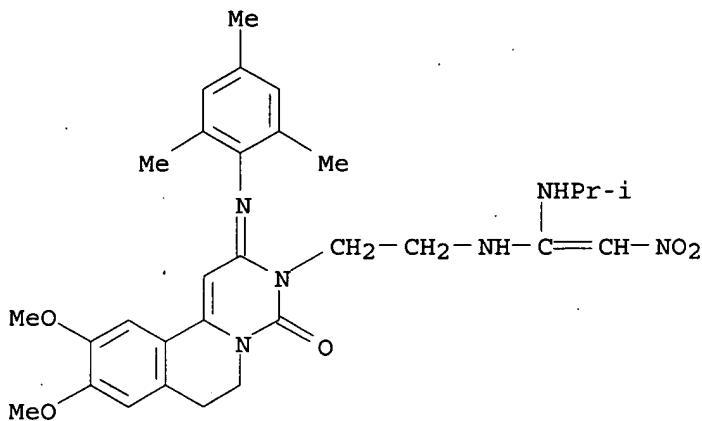
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CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 2,3,6,7-tetrahydro-9,10-dimethoxy-3-[2-[[1-(methylamino)-2-nitroethenyl]amino]ethyl]-2-[(2,4,6-trimethylphenyl)imino] - (9CI) (CA INDEX NAME)



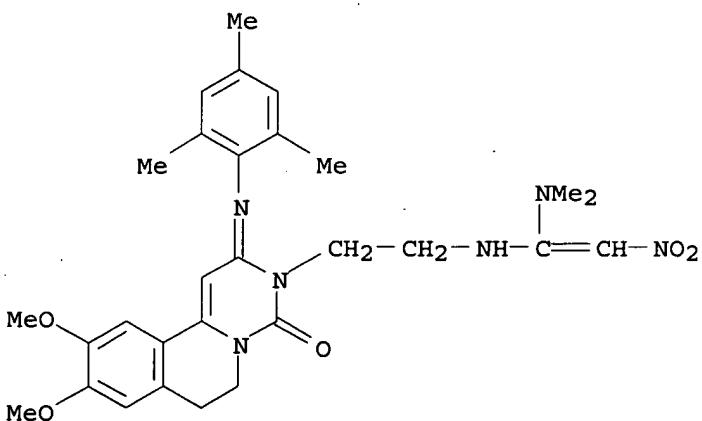
RN 298680-28-1 CAPLUS

CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 2,3,6,7-tetrahydro-9,10-dimethoxy-3-[2-[(1-methylethyl)amino]-2-nitroethenyl]aminoethyl-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)



RN 298680-29-2 CAPLUS

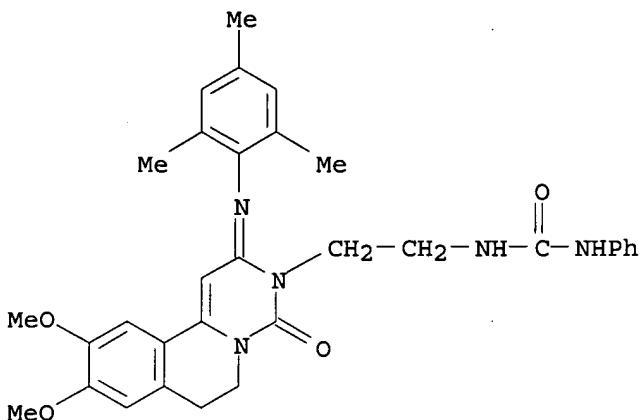
CN 4H-Pyrimido[6,1-a]isoquinolin-4-one, 3-[2-[(dimethylamino)-2-nitroethenyl]aminoethyl]-2,3,6,7-tetrahydro-9,10-dimethoxy-2-[(2,4,6-trimethylphenyl)imino]- (9CI) (CA INDEX NAME)



09/ 964,260

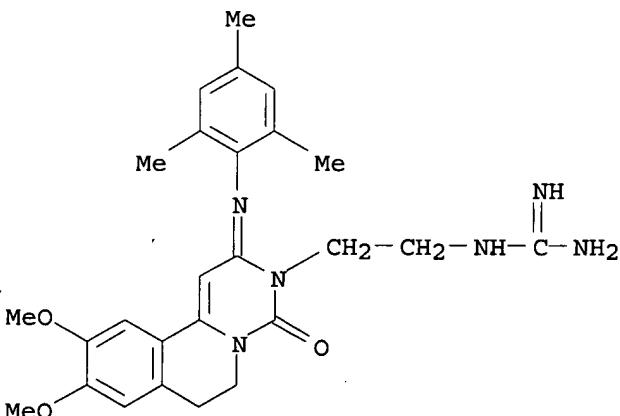
RN 298680-30-5 CAPLUS

CN Urea, N-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



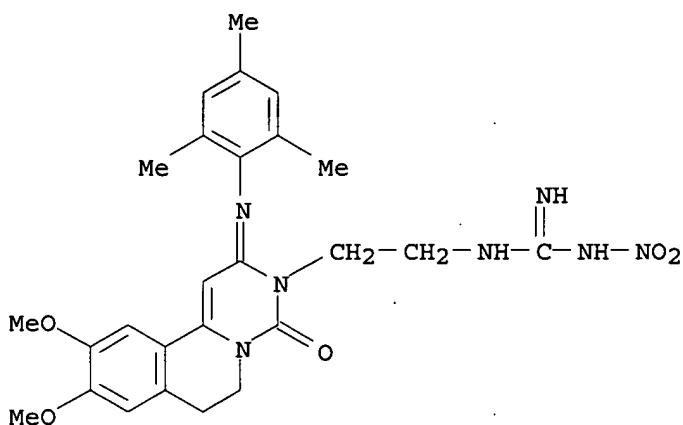
RN 298680-31-6 CAPLUS

CN Guanidine, [2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]- (9CI) (CA INDEX NAME)



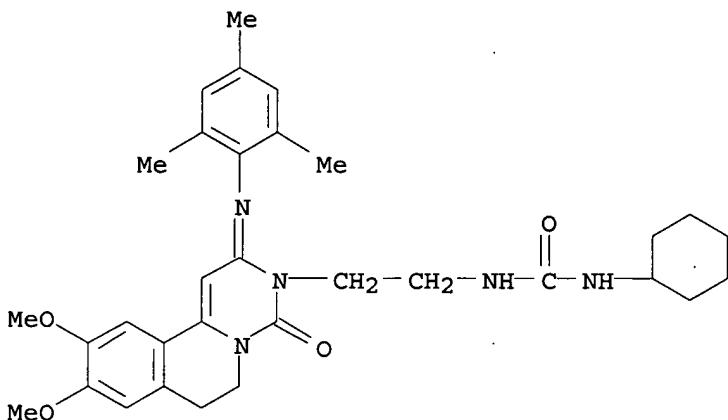
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CN Guanidine, N-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]-N'-nitro- (9CI) (CA INDEX NAME)



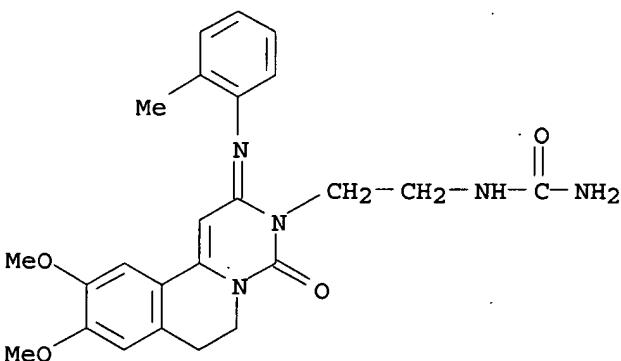
RN 298680-33-8 CAPLUS

CN Urea, N-cyclohexyl-N'-[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl] - (9CI) (CA INDEX NAME)



RN 298680-34-9 CAPLUS

CN Urea, [2-[6,7-dihydro-9,10-dimethoxy-2-[(2-methylphenyl)imino]-4-oxo-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl] - (9CI) (CA INDEX NAME)

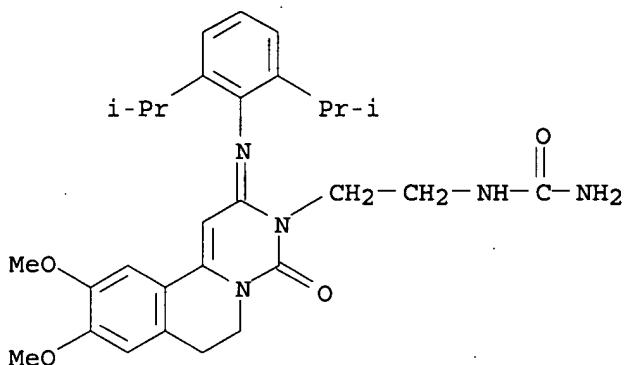


RN 298680-35-0 CAPLUS

CN Urea, [2-[2-[[2,6-bis(1-methylethyl)phenyl]imino]-6,7-dihydro-9,10-

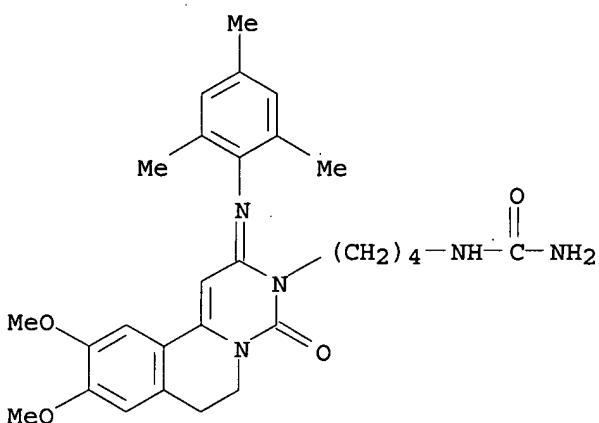
09/ 964,260

dimethoxy-4-oxo-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]- (9CI) (CA INDEX NAME)



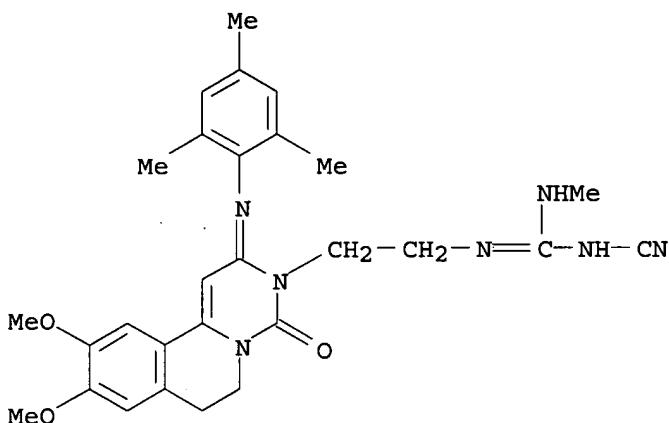
RN 298680-36-1 CAPLUS

CN Urea, [4-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]butyl]- (9CI) (CA INDEX NAME)



RN 298680-37-2 CAPLUS

CN Guanidine, N-cyano-N'-(2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl)-N''-methyl- (9CI) (CA INDEX NAME)



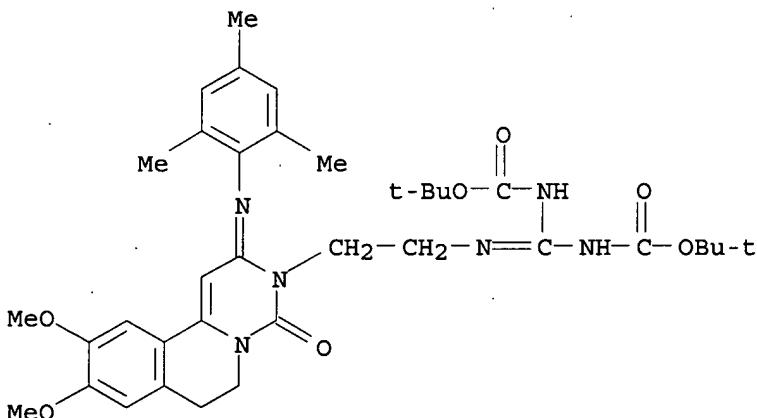
IT 298680-40-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2-aryliminopyrimido[6,1-a]isoquinolin-4-ones as phosphodiesterase inhibitors)

RN 298680-40-7 CAPLUS

CN Carbamic acid, [[2-[6,7-dihydro-9,10-dimethoxy-4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]ethyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L3 1 S L2

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L4 0 L2.

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STRUCTURE uploaded

L1 14 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:20:36 ON 15 AUG 2003
L3 1 S L2

FILE 'CAOLD' ENTERED AT 14:21:07 ON 15 AUG 2003
L4 0 S L2

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